

chain bonds :
10-17 12-21 13-17 14-20 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
22-23
exact bonds :
10-17 12-21 13-17 14-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
isolated ring systems :
containing 1 : 11 :

Match level :

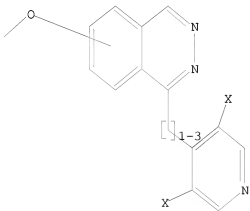
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:10:12 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 22 TO 418
PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:10:19 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 170 TO ITERATE

100.0% PROCESSED 170 ITERATIONS 137 ANSWERS
SEARCH TIME: 00.00.01

L3 137 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 10:10:24 ON 10 APR 2008

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 10 Apr 2008 VOL 148 ISS 15

FILE LAST UPDATED: 9 Apr 2008 (20080409/ED)

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<http://www.cas.org/infopolicy.html>

=> s l3

L4 15 L3

=> d ibib abs hitstr tot

14 NUMBER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

144 NUMBER 4 OF 15 CAPLUS COPYRIGHT NUMBER 2008 ACS ON SYN
 ALLOCATION NUMBER: 0404:550077 CAPLUS
 DOCUMENT NUMBER: 141-09100
 TITLE: Preparation of pyridylmethylphthalazine
 derivatives as phosphodiesterase 4 inhibitors
 Muscatello, Mauroy Pellierci, Frances Moratoni
 Gabriele Moraggi, Jean-Dominique Marx, Caroly
 Rasm, Thomas Allwein, Leopoldo Fusellari, Marco
 Farbon Group S.p.A., Italy
 SOURCE: Patent, 38 PP
 COUNTRY: PICKED
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY AC. NUM. COUNT: 1
 PRIORITY INFORMATION:

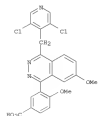
PATIENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2640456366	A	20060708	MO 2003-EP14733	20031221
WJ AU, CA, CH, LI, SP, NH, DE, US				
MO 211121	CG, CY, DE, DE, EG, EL, ES, FI, FR, GR, HR, IE			
LI, LO, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, SV, TR, UK				
CA 2511182	A	20060708	CA 2003-2511182	20031222
MO 2003162220	A	20060714	MO 2003-238238	20031222
DE 15012123	A	20060725	DE 2003-239360	20031222
DE 15052123	SE	20060812		
CG, CY, DE, DE, EG, EL, ES, FI, FR, GR, HR, IE				
LI, LO, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, SV, TR, UK				
CH 137041	A	20060729	CH 2003-049958	20041222
MO 2003161327	A	20060729	MO 2003-141411	20041222
AT 389710	AT	20060315	AT 2003-070797	20041222
US 20040394	A	20060727	US 2003-070797	20041222
US 20040394	A	20060727	US 2003-070797	20041222
PRIORITY APPL. INFO.				
		MO 2003-EP14733	W 20031221	

OTHER SOURCE(S): MARPAT 141:89108
 CT

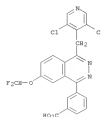


14 ANSWER 4 OF 15 CHAPTER COPYRIGHT 2008 ACS on STM (Cont. Inq.)

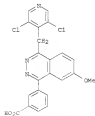
Aromatic compds. [wherein R = Me or CH₂CH₃; R1 = (un)substituted Ph or aromatic
 heterocycle; and pharmaceutically acceptable salts thereof] were
 prepared as
 phosphodiesterase (PDE) 4 inhibitors. For example, coupling reaction of
 4-chloro-1-[(1S,5S)-dihydrothiazolo[4,5-b]pyridin-3-yl]-2-methoxyethanamine with 4-
 carboxyphenylboronic acid gave 1 (R = H, R1 = 4-BOCC-CH₂CH₂ in 55% yield).
 Prepared title compds. I showed selective inhibition of PDE 4 enzyme,
 and inhibition of TNF α in whole human blood. Thus, I and their
 pharmaceutical compds. are useful as PDE 4 inhibitors for the treatment
 of
 allergy and respiratory tract disease (no data).



IN 717109-29-0 CAPLUS
CN Benzoic acid,
3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-(difluoromethoxy)-
1-phthalazinyl]- (CA INDEX NAME)



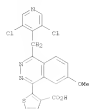
EN 717109-24-7 CAPLOS
 CN 3-Thiophenecarboxylic acid, 2-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)



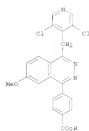
SN 717109-24-5 CAS/US
 CN Benzoic acid, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-
 phtalazyl]-4-methoxy- (CA INDEX NAME)



14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

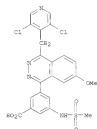


1T 717109-18-TP 717109-20-1P 717109-22-3P
 717109-23-6P 717109-26-9P 717109-32-0P
 717109-36-9P 717109-37-0P 717109-38-1P
 717109-39-2P
 NLS PNC (Pharmacological activity); SPN (Synthetic preparation); TSD (Toxicological study); BICL (Biological study); PREP (Preparation); USES (Uses)
 [preparation of (pyridin-4-yl)methylphthalazine derivs. as phenoladrenes & inhibitors]
 N8 717109-18-7 CAPLUS
 C8 Benzoic acid, 3-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)

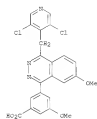


N8 717109-20-3 CAPLUS
 C8 Benzoic acid, 3-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

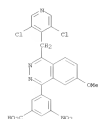


N8 717109-28-3 CAPLUS
 C8 Benzoic acid, 3-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]-5-methoxy- (CA INDEX NAME)

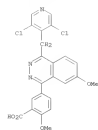


N8 717109-32-5 CAPLUS
 C8 4-Chlorobenzoic acid, 2-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

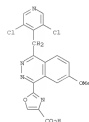


N8 717109-22-3 CAPLUS
 C8 Benzoic acid, 3-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]-5-methoxy- (CA INDEX NAME)



N8 717109-25-6 CAPLUS
 C8 Benzoic acid, 3-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]-5-[methylsulfonylamino]- (CA INDEX NAME)

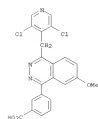
14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



N8 717109-26-9 CAPLUS
 C8 2-Glucitol, 1-deoxy-1-[[methylamino]- 3-[4-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]benzoate (salt) (SCI) (CA INDEX NAME)

CN 1

CHN 717109-19-8
 CHF C22 H15 C12 N3 O3

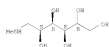


CN 2

CHN 6284-49-8
 CHF C7 H17 N O5

Absolute stereochemistry.

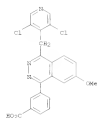
14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



HN 717109-37-0 CAPLUS
CN Benzoic acid, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-pythalazinyl]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (CA INDEX NAME)

CN 1

CFR 717109-19-8
CNP C12 E15 C12 N1 Q3



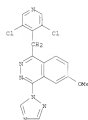
CN 2

CFR 717109-1
CNP C4 E11 N Q3

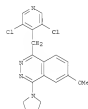


HN 717109-39-3 CAPLUS
CN Benzoic acid, 2-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

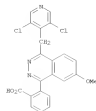


HN 256443-31-0 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-4-methoxy-6-(1-pyrazolidinyl)- (CA INDEX NAME)

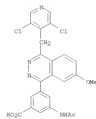


HN 256443-43-3 CAPLUS
CN Phthalazine, 4-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



HN 717109-39-2 CAPLUS
CN Benzoic acid, 3-(acetylamino)-5-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-pythalazinyl]- (CA INDEX NAME)



IT 256443-12-6 256443-32-0 256443-43-3
RI: PPT (Pharmacokinetics); BIOD (Biological study)
(preparation of [pyridin-4-ylmethyl]phthalazine deriva. as phosphodiesterase 4 inhibitors)

HN 256443-12-6 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1R-1,2,4-triazol-1-yl)- (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



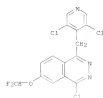
IT 256443-31-9 256443-97-7
RI: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [pyridin-4-ylmethyl]phthalazine deriva. as phosphodiesterase 4 inhibitors)

HN 256443-31-9 CAPLUS
CN Phthalazine, 4-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)

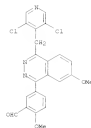


HN 256443-97-7 CAPLUS
CN Phthalazine, 4-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-(6-chloro-2-pyridinyl)- (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

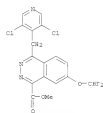


37 T17109-13-3P T17109-13-6P T17109-16-7P
T17109-30-3P T17109-31-6P T17109-33-6P
T17109-35-6P
Re: MCT (Reactant); OPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[preparation of: [pyridin-4-yl)methyl]phthalazine derivs. as phosphotriester 4 inhibitors]
38 T17109-11-2 CAPLUS
39 Besticide, 5-[4-[[3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]-2-methoxy- (CA INDEX NAME)

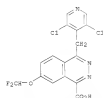


38 T17109-13-4 CAPLUS
39 Besticide, 5-[4-[[3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]-4-methoxy- (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

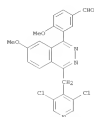


38 T17109-31-4 CAPLUS
39 1-Phthalazinemethoxycarboxylic acid, 6-[[3,5-dichloro-4-pyridinyl)methyl]-7-(difluoromethoxy)- (CA INDEX NAME)

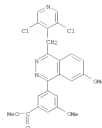


38 T17109-33-6 CAPLUS
39 4-Oxazolecarboxylic acid, 2-[4-[[3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]-4,3-dihydro-, ethyl ester (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

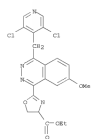


38 T17109-24-7 CAPLUS
39 Benzoic acid, 2-[4-[[3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]-5-methoxy-, methyl ester (CA INDEX NAME)

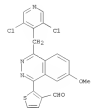


38 T17109-30-3 CAPLUS
39 1-Phthalazinemethoxycarboxylic acid, 4-[[3,5-dichloro-4-pyridinyl)methyl]-7-(difluoromethoxy)-, methyl ester (CA INDEX NAME)

14 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



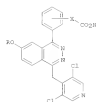
38 T17109-31-8 CAPLUS
39 3-Thiophenecarboxaldehyde, 2-[4-[[3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)



14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)
 ACCESSION NUMBER: 2004154694 CAPLUS
 DOCUMENT NUMBER: 14189103
 TITLE: Preparation of [pyridinyl]methylphthalazine
 derivatives as phosphodiesterase 4 inhibitors
 INVENTOR(S): Napolitano, Mestry, Pellacini, Travno, Morasconi,
 Gabriele, Macerchi, Salvay, Pappo, Lera, Rask,
 Thomas, Allievi, Lampoldi, Moricci, Kraemer
 PATENT ASSIGNOR(S): Sanofi Group S.p.A., Italy
 SOURCE: PCI Int. Appl., 49 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. IPR. COUNT: 1
 PATENT INFORMATION:

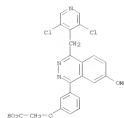
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2004046798	A1	2004/7/26	NO 2003-EP14731	2003/12/22
W4, AU, CA, CN, IL, JP, NZ, RU, US				
BR, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE,				
IT, LU, MC, NO, PT, RO, SE, SI, SK, TR				
NO 2003294706	A1	2004/7/14	NO 2003-294706	2003/12/22
FR 2003-294706	A1	2004/7/14	FR 2003-294706	2003/12/22
FR 2003-294706	A1	2004/7/14	FR 2003-294706	2003/12/22

OTHER SOURCE(S): MARPAT 14189105
 GI



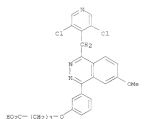
AB Title compds. 1 [wherein R = Me or CH₂R; X = methylene group, ethylene, -CH=CH-, -CH=CH-, -O(CH₂)₂, -N(CH₂)₂, etc., and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase (PDE) 4 inhibitors. For example, 1 (R = Me, X = -CH₂R) was given in multi-step synthesis starting from 4-chloro-1-[(3,5-dichloropyridin-4-yl)methyl]-4-

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)
 methoxyphthalazine. The prepd. title compds. 1 showed relatively inhibition of PDE 4 enzyme, and inhibition of THA in whole human blood. Thus, 1 and these pharmaceutical compds. are useful as PDE 4 inhibitors for the treatment of allergy and respiratory tract disease (no data).
 IT 71107-11-4P 71107-13-4P 71107-20-5P
 71107-25-0P 71107-26-3P 71107-30-7P
 71107-34-3P 71107-37-6P 71107-46-5P
 EA: MC (Pharmacological activity); PT (Pharmacokinetics); SPH (Synthetic preparation); TH (Therapeutic use); RIGL (Radiological study); PREP (Preparation); RESS (Research)
 (preparation of [phenyl]pyridinylmethylphthalazine derive, as phosphodiesterase 4 inhibitors)
 EN 71107-11-4 CAPLUS
 CN Acetic acid, 1-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]phenyl- (PCT) (CA INDEX NAME)

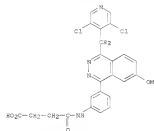


EN 71107-13-4 CAPLUS
 CN Butanoic acid, 4-[3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]phenyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)

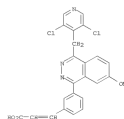


EN 71107-20-5 CAPLUS
 CN Butanoic acid, 4-[3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]phenyl]acetic acid- (CA INDEX NAME)

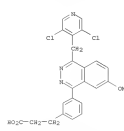


EN 71107-25-0 CAPLUS
 CN 2-Propenoic acid, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]phenyl- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)

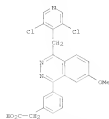


EN 71107-28-3 CAPLUS
 CN Benzenesulfonyl acid, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)

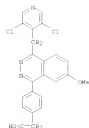


EN 71107-30-7 CAPLUS
 CN Benzenesulfonyl acid, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



RI 71107-34-1 CAPLOS
 CH Benzoic acid, 3-[3-[4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl]-2-amino-2-(hydroxymethyl)-3-propenoic acid, (1:1) (CA INDEX NAME)



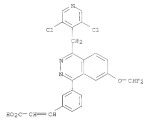
RI 71107-37-4 CAPLOS
 CH 2-Propenoic acid, 3-[3-[4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl]-2-amino-2-(hydroxymethyl)-3-propenoic acid, (1:1) (CA INDEX NAME)

CH 1

CHS 71107-35-0

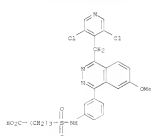
CHP C24 H17 O12 N3 O3

14 ANSWER 5 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



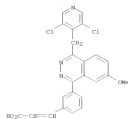
IT 71107-17-0P 71107-19-2P 71107-21-6P
 71107-23-8P 71107-24-9P 71107-26-1P
 71107-17-1P 71107-21-8P 71107-26-3P
 71107-38-1P 71107-45-4P
 RI, PAC (Pharmacological activity); SPH (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USLS (Uses)

[preparation of (phenyl)pyridylmethyl]phthalazine derivs. as phenylphthalazine 4 inhibitors)
 RI 71107-17-0 CAPLOS
 CH Benzoic acid, 4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl-phenyl]amino]anilonyl- (CA INDEX NAME)



RI 71107-19-2 CAPLOS
 CH 4-[3-(2-amino-2-(hydroxymethyl)-3-propenoic acid, 1-[3-[4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl]phenyl]amino]anilonyl)methyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



CH 2

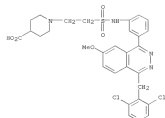
CHS 71-86-1

CHP C4 H11 N O3

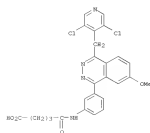


RI 71107-46-5 CAPLOS
 CH 2-Propenoic acid, 3-[3-[4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl]-2-amino-2-(hydroxymethyl)-3-propenoic acid, (1:1) (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

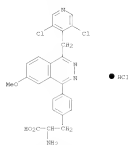


RI 71107-23-6 CAPLOS
 CH Pentanoic acid, 5-[3-[4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl]phenyl]amino]-5-oxo- (CA INDEX NAME)

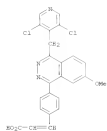


RI 71107-23-8 CAPLOS
 CH Phenylalanine, 4-[(1,5-dichloro-4-pyridyl)methyl]-7-methoxy-1-phthalazinyl-, monohydrochloride (HCl) (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

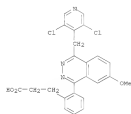


RI 717107-24-9 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)



RI 717107-24-3 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)

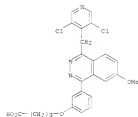
14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 717107-36-3 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)

CN 3

CN 717107-33-6
 CNF C25 R21 C12 N3 O4

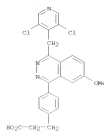


CN 2

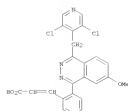
CN 6284-40-8
 CNF C7 R17 N 05

Absolute stereochemistry.

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

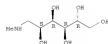


RI 717107-27-2 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)



RI 717107-31-8 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)

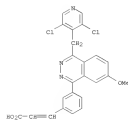
14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 717107-38-5 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)

CN 1

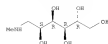
CN 717107-35-0
 CNF C24 R17 C12 N3 O3



CN 2

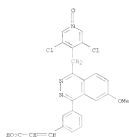
CN 6284-40-8
 CNF C7 R17 N 05

Absolute stereochemistry.

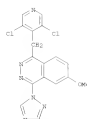


RI 717107-45-4 CAPLUS
 CN 2-(3-(4-((1,5-dichloro-4-pyridinyl)methyl)-7-methoxy-1-phenyl)phenyl)-2-oxo-1,3-dioxane-5-carboxylic acid, 2-[[4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenyl]phenyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 256443-32-6 256443-32-6 256443-43-3
 RI: PCT (Reactant); RIOL (Biological study)
 Preparation of (phenyl)pyridinylmethylphthalazine derivs. as phosphodiesterase 4 inhibitors
 RI 256447-12-6 CAPLUS
 CH Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-[(2R,3,4-trioxol-1-yl)]- (CA INDEX NAME)

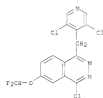


RI 256443-32-6 CAPLUS
 CH Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-[(1-pyrrolyl)methyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

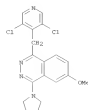


RI 256447-37-7 CAPLUS
 CH Phthalazine, 6-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-iodofluoromethyl- (CA INDEX NAME)



IT 717107-09-0P 717107-10-3P 717107-13-5P
 717107-14-7P 717107-15-8P 717107-16-9P
 717107-18-1P 717107-22-7P 717107-29-6P
 717107-31-0P 717107-43-2P 717107-44-3P
 RI: PCT (Reactant); SFR (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 Preparation of (phenyl)pyridinylmethylphthalazine derivs. as phosphodiesterase 4 inhibitors
 RI 717107-09-0 CAPLUS
 CH Phenol
 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

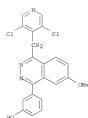


RI 256443-43-3 CAPLUS
 CH Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-4-ethynyl-6-methoxy- (CA INDEX NAME)

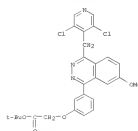


IT 256443-81-9 256443-97-7
 RI: PCT (Reactant); RACT (Reactant or reagent)
 Preparation of (phenyl)pyridinylmethylphthalazine derivs. as phosphodiesterase 4 inhibitors
 RI 256443-81-9 CAPLUS
 CH Phthalazine, 6-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

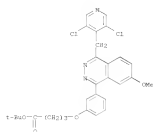


RI 717107-10-3 CAPLUS
 CH Acetic acid, [3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]phenoxy]-, 1,1-dimethylethyl ester (PCT) (CA INDEX NAME)

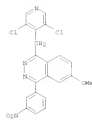


RI 717107-12-5 CAPLUS
 CH Benzoic acid, 4-[2-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phthalazinyl]phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

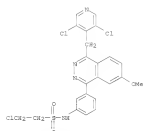


HN 71107-14-7 CAPLUS
CN Potassium, 1-[[3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(3-nitrophenyl)]- (CA INDEX NAME)

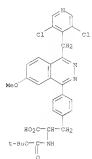


HN 71107-15-8 CAPLUS
CN Benzamide, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenylazonyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

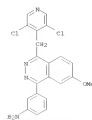


HN 71107-22-7 CAPLUS
CN Phenylalanine, 4-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenylazonyl]-N-[[1,1-dimethylethoxy)carbonyl]- (CA INDEX NAME)

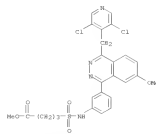


HN 71107-29-4 CAPLUS
CN Benzenecetonitrile, 3-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenylazonyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

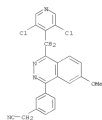


HN 71107-16-4 CAPLUS
CN Potassium acid, 4-[[[3-(4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenylazonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

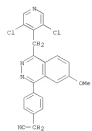


HN 71107-18-1 CAPLUS
CN Ethanesulfonamide, 2-chloro-N-[3-(4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenylazonyl)phenyl]- (CA INDEX NAME)

14 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



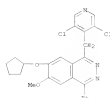
HN 71107-33-0 CAPLUS
CN Benzenecetonitrile, 4-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-phenylazonyl]- (CA INDEX NAME)



HN 71107-43-2 CAPLUS
CN Phenylalanine, 1-[(3,5-dichloro-1-oxido-4-pyridinyl)methyl]-4-[3-(2,3-dioxolan-2-yl)phenyl]-6-methoxy- (CA INDEX NAME)

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2009:531321 CAPLUS
 DOCUMENT NUMBER: 139139450
 TITLE: Comparative molecular field analysis (COMFA) of phthalazine derivatives as phosphodiesterase IV inhibitors
 AUTHOR(S): Chakrabarti, Asit K.; Gopalakrishnan, B.; Bobbia, M. Elizabeth; Halder, Alpaakumar
 CORPORATE SOURCE: Department of Medicinal Chemistry, National Institute of Pharmaceutical Education and Research (NIPER), S.A.S. Nagar, Punjab, 160 062, India
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(13), 2475-2479
 CODE(S): BML09; ISSN: 0960-894X
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB: A comparative mol. field anal. (COMFA) of phthalazine class of phosphodiesterase IV (PDE IV) inhibitors has been performed to correlate their chemical structures with their observed Biol. activity. A statistically valid model with good correlative and predictive power is reported. The leave one out cross-validation study gave cross-validation r₂ of value 0.197 at six optimum components and conventional r₂ of value 0.58. The predictive ability of the model was tested by predicting the seven molts. belonging to the test set giving predictive correlation coefficient of 0.55. This model is potentially helpful in the design of novel and more potent PDE IV inhibitors.
 IT 228869-09-7 228869-09-8 228869-10-1
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14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
ethyl-7-methoxy- (CA INDEX NAME)

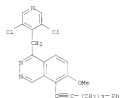


HN 256443-08-3 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-phenyl- (CA INDEX NAME)

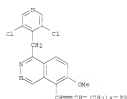


HN 256443-09-1 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(2-thiazolyl)- (CA INDEX NAME)

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

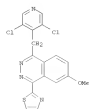


HN 256443-16-0 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-(5-phenyl-1-pentyl)- (PC2) (CA INDEX NAME)

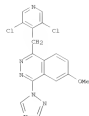


HN 256443-21-7 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-naphthyl)- (CA INDEX NAME)

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

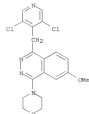


HN 256443-12-6 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(18-1,2,4-triazol-3-yl)- (CA INDEX NAME)



HN 256443-15-9 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-(5-phenyl-1-pentyl)- (PC5) (CA INDEX NAME)

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

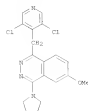


HN 256443-30-8 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-phenoxy- (CA INDEX NAME)

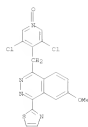


HN 256443-32-0 CAPLUS
CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1-pyrrolidyl)- (CA INDEX NAME)

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

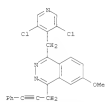


IN 256443-08-2 CAPLUS
 CN Phtalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(2-thiazolyl)- (CA INDEX NAME)

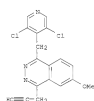


IN 311339-04-5 CAPLUS
 CN Phtalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-(5-phenyl-2-pyrryl)- (CA INDEX NAME)

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

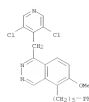


IN 599193-94-9 CAPLUS
 CN Phtalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(2-propenyl)- (CA INDEX NAME)

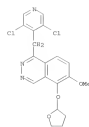


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

14 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IN 599193-92-7 CAPLUS
 CN Phtalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(tetrahydro-5-furyl)methyl]- (CA INDEX NAME)



IN 599193-93-8 CAPLUS
 CN Phtalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(2-phenyl-2-propenyl)- (CA INDEX NAME)

14 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 20011900339 CAPLUS
 DOCUMENT NUMBER: 136132502
 TITLE: Phtalazine PDE4 inhibitors. Part 3. The synthesis

and

in vitro evaluation of derivatives with a hydrogen bond acceptor

AUTHOR(S): Napolitano, Mauro; Morici, Gabriele; Pellacini, Franco; Marchini, Francesco; Mazzoni, Gabriele; Fattori, Romano; Ferlami, Pierpaolo; Padellai, Lorenzo

CORPORATE SOURCE: Tophazam Recherche, Sanbon Group, Tavernes, 6807, Switzerland

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), Volume Date 2002, 12(1), 5-8

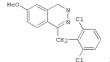
CODEN: BMCL; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: English

OTHER SOURCE(S): CASREACT 136132502

CI



AB This communication describes the synthesis and in vitro evaluation of a novel and potent series of phtalazine phosphodiesterase type (PDE4) inhibitors, e.g., 1 (R = 4-oxyl). The interaction with two distinct polar binding sites allowed us to eliminate the cyclopentyl substitution from

solipram-like analogs.

IN 228848-08-7
 RU PDE (Pharmacological activity); RCT (Reactant); BOLD (Biological study); RACT (Reactant or reagent)

(phtalazine PDE4 inhibitors with hydrogen bond acceptor)

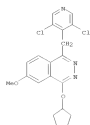
IN 228848-08-7 CAPLUS

CN Phtalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(2-propenyl)- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

ACCESSION NUMBER: 2000;872835 CAPLUS
DOCUMENT NUMBER: 114107774
TITLE: Phthalazine PDE4 inhibitors. Part 2: The synthesis and biological evaluation of 6-methoxy-1,4-disubstituted derivatives
AUTHOR(S): Napoletano, M.; Mancini, G.; Pollacini, F.; Marchini, F.; Morassutti, G.; Fariello, P.; Padella, L.
CORPORATE SOURCE: Sarnan Group, Imphasan Riondchi, Taverna, 1907, Switzerland
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), Volume date 2001, 11(1), 33-37
CUSTOD: BML08; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134107774
AB This communication describes the synthesis and in vitro evaluation of a novel and potent series of phosphodiesterase type IV (PDE4) inhibitors. The compounds described present substituents in position 4 of the phthalazine ring to replace the commonly observed cyclopentyl moiety of rolipram analogs. Preliminary evidences of reduced side effects compared to atad, and improved pharmacokinetic properties for selected derivs. are also reported.
IT 101941-00-0
R1: DAC (Biological activity or effector, except adverse); R20 (Biological)
study, unclassified); R10L (Biological study)
[Preparation of 6-methoxy-1,4-disubstituted phthalazine derivs. as PDE4 inhibitors]
R1 101941-00-6 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



IT 256442-84-9P 256442-87-2P 256442-88-3P
256442-89-4P 256443-09-1P 256443-10-4P
256443-11-5P 256443-12-8P 256443-11-7P
256443-21-3P 256443-28-4P 256443-30-8P
256443-31-9P 256443-32-0P 256443-43-3P
256443-68-2P 318941-82-8P
R1: DAC (Biological activity or effector, except adverse); R20 (Biological)
study, unclassified); R10L (Synthetic preparation); R10L (Biological study); R20 (Preparation)
[Preparation of 6-methoxy-1,4-disubstituted phthalazine derivs. as PDE4 inhibitors]
R1 256442-84-9 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)



R1 256442-87-2 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-4-methyl-6-methoxy- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



R1 256442-89-3 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-phenyl- (CA INDEX NAME)

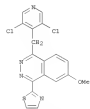


R1 256442-89-4 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-phenylphenyl)- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



R1 256443-09-1 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(2-chlorophenyl)- (CA INDEX NAME)

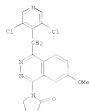


R1 256443-10-4 CAPLUS
CN Phthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1H-imidazol-2-yl)- (CA INDEX NAME)

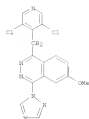


14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

FN 256443-12-3 CAPLUS
 CN 2-Pyridazinone, 1-[4-[(3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-1-pyridazinyl]- (CA INDEX NAME)

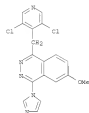


FN 256443-12-6 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1E-1,2,4-triazol-1-yl)- (CA INDEX NAME)



FN 256443-21-7 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-morpholinyl)- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

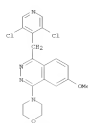


FN 256443-30-8 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-phenoxyl- (CA INDEX NAME)



FN 256443-31-9 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

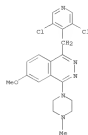


FN 256443-27-3 CAPLUS
 CN 1-Pthhalazine, 4-[(13,5-dichloro-4-pyridinyl)methyl]-7-methoxy-8,8-dimethyl- (CA INDEX NAME)

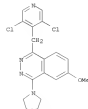


FN 256443-28-4 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-4-(1E-unsatol-1-yl)-6-methoxy- (CA INDEX NAME)

14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

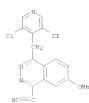


FN 256443-32-0 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-4-methoxy-4-(1-piperidinyl)- (CA INDEX NAME)



FN 256443-43-3 CAPLUS
 CN Fthhalazine, 1-[(13,5-dichloro-4-pyridinyl)methyl]-4-methoxy-4-(1-piperidinyl)- (CA INDEX NAME)

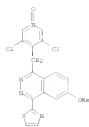
14 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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F00  256443-68-2  CAPLUS
CN   Pimelazine, 1-[(3,5-dichloro-1-oxido-4-pyridinyl)methyl]-4-methoxy-4-(2-
      thiazolyl)- (CA INDEX NAME)

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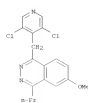


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J01 328941-82-8 CAPLUS
C01 Pethazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-propyl-
(CA
INDEX NAME)

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L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)



17	256443-02-4P 256443-01-9P Re: RCT (Reactant); SRP (Synthetic preparation); PREP (Preparation); RACT? (Reactant or reagent) [preparation of 6-methoxy-1,4-disubstituted phthalazine derivs. as inhibitors]
FIN	256443-02-4 CAPLOS
CM	1120-Phthalazine, 4-[[3,5-dichloro-4-pyridinyl)methyl]-7-methoxy- (CA INDEX NAME)

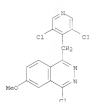


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F28 256443-01-9 CAPLOS
CN Phthalazine, 4-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-
ICA
INDEX NAME)

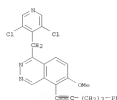
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14 ANSWER 10 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR
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FORMAT

1 ANMER 11 OF 12 CAPLOS COTIFERT 2009 ACS on 978
 2 ACCESSION NUMBER 2009046752 CAPLOS
 3 DOCUMENT NUMBER 13415190
 4
 5 TITLE The synthesis and biological evaluation of a novel
 6 class of phosphatase P25A inhibitors
 7
 8 AUTHOR(S) Bapoletoanu M; Morcini G; Pellicani F; Marchini
 9 A; Bapoletoanu G; F. Pellicani F; Morcini G
 10
 11 COMPANION SOURCE(S) Department of Pharmaceutical Sciences, University of
 12 Medicine and Pharmacy, Bucharest
 13
 14 SOURCE(S) Bioorganic & Medicinal Chemistry Letters (2009),
 15 10(18), 2235-2238
 16
 17 PUBLISHER JOHN WILEY & SONS
 18
 19 DOCUMENT TYPE JOURNAL ARTICLE
 20
 21 LANGUAGE English
 22
 23 ORIGIN SOURCE(S) CAPLOS
 24
 25 This communication describes the synthesis and *in vitro* evaluation of a
 26 novel and potent series of phosphodiesterase type IV (PDE4) inhibitors.
 27 The compounds described here are novel and potent PDE4 inhibitors.
 28
 29 73401, Pictet-Latour. Preliminary evidences of reduced side effects of 11
 30 compounds are also reported. An example compound thus prepared
 31
 32 tested was 7-(cyclopentylmethyl)-1-(1,3-dichloro-4-tyridinyl)methyl-6-
 33 methylphthalimide.
 34 25447-1-1-CP 25447-16-CP
 35
 36 11 BIOLOGICAL ABSTRACTS
 37
 38 NC: BNC (Biological activity and effect, except adverse); BSU
 39 (Study, unclassified); NCT (Reactant); SPH (Synthetic preparation); BICL
 40 (Biological activity and effect, except adverse); NCT (Reactant); BICL
 41 (Synthetic preparation) and NCT (Biological activity and effect, except adverse).
 42
 43 25447-1-1-CP 25447-16-CP
 44
 45 1-1,3,5-trichloro-4-tyridinylmethyl-6-methoxy-3,5-phenyl-1-
 46 methoxy-3,5-phenyl-1-3,5-trichloro-4-tyridinylmethyl-6-methoxy-3,5-phenyl-1-
 47 methoxy-3,5-phenyl-1-3,5-trichloro-4-tyridinylmethyl-6-methoxy-3,5-phenyl-1-
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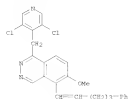


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RN      256443-16-0  CAPLOS
CN      Phthalazine,
1-[ (3,5-dichloro-4-pyridinyl)methyl]-4-methoxy-5-[5-phenyl-1-
pentenyl]- (TCE) (CA INDEX NAME)

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14 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



37 228869-08-TP 228869-09-TP 228869-10-TP

228869-11-TP 228869-12-4P 228869-14-SP

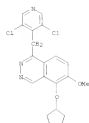
311339-04-1P 311339-04-5P

X1: INC [Biological activity or effector, except adrenergic; R50]

(Biological study, unclassified); STN (Synthetic preparation); NCL (Biological study); PZP (Preparation)

38 228869-08-7 CAPLUS

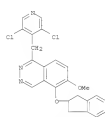
CN Phtalazine, 5-(cyclopentylmethyl)-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- [CA INDEX NAME]



39 228869-03-8 CAPLUS

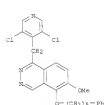
CN Phtalazine, 5-(cyclopentylmethyl)-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-, 3-oxide [CA INDEX NAME]

14 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



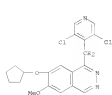
39 228869-13-4 CAPLUS

CN Phtalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(phenylpentyl)oxy]- [CA INDEX NAME]

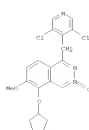


39 228869-14-5 CAPLUS

CN Phtalazine, 1-(cyclopentylmethyl)-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- [CA INDEX NAME]

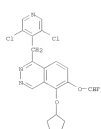


14 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



39 228869-12-3 CAPLUS

CN Phtalazine, 5-(cyclopentylmethyl)-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-(difluoromethyl)- [CA INDEX NAME]



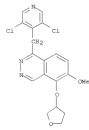
39 228869-12-3 CAPLUS

CN Phtalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-5-[(2,3-dihydro-1H-inden-2-yl)oxy]-6-methoxy- [CA INDEX NAME]

14 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

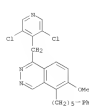
39 311339-02-1 CAPLUS

CN Phtalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(tetrahydro-3-furyl)oxy]- [CA INDEX NAME]



39 311339-04-5 CAPLUS

CN Phtalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(phenylpentyl)- [CA INDEX NAME]



REFERENCE CONF?

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR

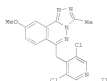
FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 2000-114699 CAPLUS
 DOCUMENT NUMBER: 131121868
 TITLE: Preparation of triacylophthalazine derivatives as phosphodiesterase 4 inhibitors
 INVENTOR(S): Napolitano, Mauro Morici, Gabriele Pellacini,
 Franco Morasconi, Gabriele
 Sandoz Group S.p.A., Italy
 PATENT ASSIGNEE(S): PCT Int. Appl., 28 pp.
 SOURCE: CODES: P1000
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACT. NUM. COUNTRY: 1
 PASTOR INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046120	A1	20000511	MO 1999-EP7304	19991001
US 61, AG, CA, CH, DE, ES, FR, GB, HU, IL, JP, KR, NL, SI, US, ZA, AM, AS, BY, BG, BS, BR, CA, CY, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IT 1301372	A1	20001106	IT 1998-M12319	19991009
CA 2343317	A1	20000511	CA 1999-2343317	19991001
AO 1961324	A1	20000512	AO 1999-43324	19991001
AO 1961328	A1	20001009		19991001
EP 1114829	A1	20010812	EP 1999-950599	19991001
EP 1214829	B1	20040904		
RU 214829	B1	20040904		
JP 200052546	T	20000907	JP 2000-579606	19991001
AT 2343317	T	20040815	AT 1999-950599	19991001
US 6132015	US	20001215	US 2001-850879	20010410
PT001017 APPL. INFO.			IT 1998-M12319	A 19991009
			MO 1999-EP7304	M 19991001

OTHER SOURCE(S): MARPAT 131121868
 GI



L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



RU 264937-42-6 CAPLUS
 CH 1128-Phthalazine, 4-[3,5-dichloro-4-pyridinylmethyl]-7-methoxy-,
 hydrate (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

AB The title compd. [I: A = (unsubstituted) (unsaturated) 5-7 membered heterocycle containing 3-4 N atoms; Z = NH, CH, (unsaturated) alkylene optionally interrupted by cycloalkyl residue; Cy = (unsubstituted) Ph, heterocycle, COR (wherein R = OH, alkyl, (unsubstituted) NH), R = alkyl, poly(alkoxyalkyl); R1 = H, alkyl, alkoxy, etc.), useful for the treatment of allergic and inflammatory pathologies (e.g., respiratory diseases), were prepared. E.g., a multi-step synthesis of I which showed 1050 of

107
 108 against PDE IV, was given.
 109 254443-02:4P 254443-01-9P 254997-42-4P
 110 RU 264937-42:4P (Synthetic preparation); PREP (Preparation); RCT (Reactant) or CAPLUS
 111 [Preparation of triacylophthalazine deriv. as phosphodiesterase 4 inhibitors]
 112 254443-02-4 CAPLUS
 113 1128-Phthalazine, 4-[3,5-dichloro-4-pyridinylmethyl]-7-methoxy- (CA INDEX NAME)



RU 254443-01-9 CAPLUS
 CH Phthalazine, 4-chloro-1-[3,5-dichloro-4-pyridinylmethyl]-4-methoxy-
 (CA INDEX NAME)



L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN

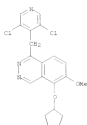
ACCESSION NUMBER: 2000-14785 CAPLUS
 DOCUMENT NUMBER: 131121867
 TITLE: Preparation of phthalazine derivatives as phosphodiesterase 4 inhibitors
 INVENTOR(S): Napolitano, Mauro Morici, Gabriele Pellacini,
 Franco Morasconi, Gabriele
 Sandoz Group S.p.A., Italy
 PATENT ASSIGNEE(S): PCT Int. Appl., 42 pp.
 SOURCE: CODES: P1000
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACT. NUM. COUNTRY: 1
 PASTOR INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005519	A1	20000203	MO 1999-EP9508	19990716
US 61, AG, CA, CH, DE, ES, FR, GB, HU, IL, JP, KR, NL, SI, US, ZA, AM, AS, BY, BG, BS, BR, CA, CY, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IT 98813475	A1	20000121	IT 1998-M12475	19990721
CA 2337954	A1	20000203	CA 1999-2337954	19990726
AO 1961316	A1	20000214	AO 1999-43116	19990726
AO 164076	B2	20011009		
EP 1059886	A1	20010516	EP 1999-932894	19990716
RU 214829	B1	20040904		
RU 214829	B1	20040904		
HU 2001003349	A2	20001028	HU 2001-3349	19990716
JP 2001123171	A3	20000128		
JP 2001123171	T	20000128	JP 2000-561175	19990716
US 507930	A	20011126	US 1999-507930	19990726
ZA 2000004875	A	20000113	ZA 2000-4875	20011113
SA 2000004677	A	20000113	SA 2000-4677	20011113
SI 4160454	B1	20010112	SI 2000-4677	20010112
US 2000059662	A1	20000516	US 2001-987266	20011114
US 6499160	B2	20011224		

PRIORITY APPL. INFO.: IT 1998-M12471 A 19990721
 WO 1999-EP9508 W 19990716
 US 2001-764993 A1 20010112

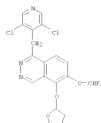
OTHER SOURCE(S): MARPAT 131121867
 GI



[illegible]

IN 256421-80-4 CASUS
 CN Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-4-(difluoromethoxy)-3-
 [(tetrahydro-2-furanyl)oxy]- (CA INDEX NAME)

14 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on 5TH (Continued)



REFERENCE COURT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMER

44 ANSWER 34 OF CARLOS COPYRIGHT 1990 ACS ON STN
ACCESSION NUMBER: 2000:847873 CARLOS
DOCUMENT NUMBER: 122122426
TITLE: Preparation of phthalazines as phosphodiesterase d-
inhibitors
INVENTOR(S): Maglielano, Mauro Morici, Gabriele; Giannici,
Giannaroli, Pellaicini, Franco Leali, Gian Marco,
Mazzaroni, Gabriele
PATENT ASSIGNEE(S): Sandron Group S.p.A. Italy
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIRAKD
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY AC. NUM. COUNT: 1
PATENT INFORMATION:

1.4 ANSWER 14 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)
W0 1999-EP 6904 W 19990717

OTHER SOURCE(S): MARPAT 132:122626
GT

[illegible][illegible]

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

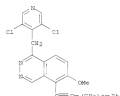


RI 256443-09-3 CAPLUS
 CN Phthalazine, 3-[[1,3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-4-phenyl-1-
 (CA INDEX NAME)

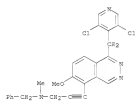


RI 256443-02-4 CAPLUS
 CN 1,12R-Phthalazine, 4-[[1,3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-
 (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-19-2 CAPLUS
 CN Benzenemethanamine,
 N-[[3-[[1,3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-5-
 methylphthalazinyl]-2-propargyl]-N-methyl-, dihydrochloride (PCI) (CA INDEX
 NAME)

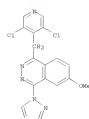


RI 256443-22-8 CAPLUS
 CN 1-Phthalazine,
 4-[[1,3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-N-propyl-1-
 (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-12-6 CAPLUS
 CN Phthalazine, 2-[[1,3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-4-(2R,2,4-
 triazol-2-yl)-1- (CA INDEX NAME)

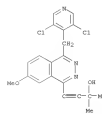


RI 256443-15-3 CAPLUS
 CN Phthalazine, 2-[[1,3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-5-(5-phenyl-1-
 pentynyl)-1- (PCI) (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-42-2 CAPLUS
 CN 3-Butyn-2-ol, 4-[[4-[[1,3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-
 phthalazinyl]-2-methyl-1- (CA INDEX NAME)



IT 256443-05-0P 256443-06-1P 256443-07-1P
 256443-09-4P 256443-09-1P 256443-10-4P
 256443-11-5P 256443-12-7P 256443-14-8P
 256443-15-0P 256443-17-1P 256443-19-3P
 256443-20-6P 256443-21-7P 256443-27-2P
 256443-28-4P 256443-30-9P 256443-30-9P
 256443-31-9P 256443-32-0P 256443-35-2P
 256443-36-4P 256443-37-5P 256443-38-6P
 256443-39-7P 256443-40-0P 256443-41-1P
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 256443-50-0P 256443-64-9P 256443-65-9P
 256443-66-0P 256443-67-3P 256443-68-2P
 256443-69-3P
 RI: RUC (Biological activity or effector, except adverse); BSU
 (Biological)
 study, unclassified); SPH (Synthetic preparation); TSP (Therapeutic use);
 BTO (Biological study); PEP (Preparation); USE (Use)
 [preparation of phthalazines as phosphodiesterase 4 inhibitors]
 RI 256443-05-0 CAPLUS
 CN Phthalazine, 3-[[1,3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-3-oxide-1-

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



FIG 256442-86-1 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-6-methoxy-3-oxo- (CA INDEX NAME)

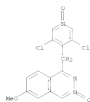


FIG 256442-87-2 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-4-ethyl-6-methoxy-2-oxo- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

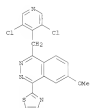


FIG 256443-10-4 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-6-methoxy-4-(phenylethynyl)-2-oxo- (3C1) (CA INDEX NAME)



FIG 256443-11-3 CAPLUS
 C1 2-Pyridylidene, 1-([3,5-dichloro-4-pyridinyl]methyl)-7-methoxy-1-phthalazinyl]- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



FIG 256442-89-4 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-6-methoxy-4-(4-phenylbutyl)-2-oxo- (CA INDEX NAME)

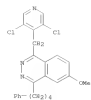


FIG 256443-09-1 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-6-methoxy-4-(2-thiazolyl)-2-oxo- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

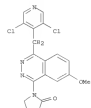


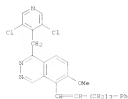
FIG 256443-13-7 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-6-methoxy-5-(phenylethynyl)-2-oxo- (3C1) (CA INDEX NAME)



FIG 256443-14-8 CAPLUS
 C1 Phthalazine, 1-([3,5-dichloro-4-pyridinyl]methyl)-6-methoxy-5-(2-phenylethynyl)-2-oxo- (CA INDEX NAME)



14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 256443-16-Q CAPLUS
 CN 1-Phthalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(5-phenyl-1-pentyl)-1- (3C1) (CA INDEX NAME)



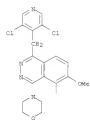
RN 256443-17-1 CAPLUS
 CN 1-Phthalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(5-phenyl-2-ethyl)-1- (3C1) (CA INDEX NAME)



● 3C1

RN 256443-19-3 CAPLUS
 CN 1-Phthalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(4-morpholinyl)-1-pentyl)-1- (3C1) (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

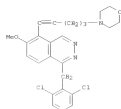


RN 256443-27-3 CAPLUS
 CN 1-Phthalazine, 4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-N,N-dimethyl- (CA INDEX NAME)



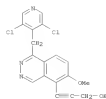
RN 256443-28-4 CAPLUS
 CN 1-Phthalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-4-[(18-oxa-1,3-yl)-6-methoxy- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



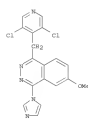
● 3C1

RN 256443-20-6 CAPLUS
 CN 2-Propyl-1-yl, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-phthalazinyl)- (CA INDEX NAME)

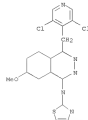


RN 256443-21-7 CAPLUS
 CN 1-Phthalazine, 3-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-morpholinyl)- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

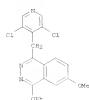


RN 256443-29-5 CAPLUS
 CN 1-Phthalazine, 4-[(1,5-dichloro-4-pyridinyl)methyl]-7-methoxy-N-2-thiazolyl- (CA INDEX NAME)

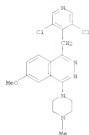


OR OR MORE TYPHOGRAPHIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 256443-30-8 CAPLUS
 CN 1-Phthalazine, 2-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-phenyl- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

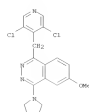


RII 256443-32-9 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-methyl-1-piperazinyl)- [CA INDEX NAME]

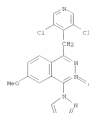


RII 256443-32-0 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1-pyrrolidinyl)- [CA INDEX NAME]

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

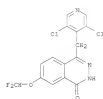


RII 256443-35-3 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1,2,4-triazol-1-yl)- [CA INDEX NAME]

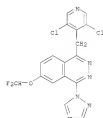


RII 256443-36-4 CAPLUS
 CN 1(2H)-Phthalazine, 6-[(7,5-dichloro-4-pyridinyl)methyl]-7-(difluoromethoxy)- [CA INDEX NAME]

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

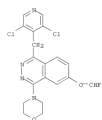


RII 256443-37-5 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-(difluoromethoxy)-4-(1,2,4-triazol-2-yl)- [CA INDEX NAME]

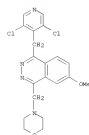


RII 256443-38-6 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-(difluoromethoxy)-4-(1-morpholinyl)- [CA INDEX NAME]

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

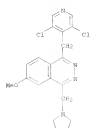


RII 256443-39-7 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(4-morpholinylmethyl)- [CA INDEX NAME]

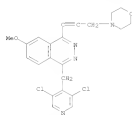


RII 256443-40-0 CAPLUS
 CN Phthalazine, 1-[(7,5-dichloro-4-pyridinyl)methyl]-6-methoxy-4-(1-pyrrolidinyl)- [CA INDEX NAME]

14 ANSWER 14 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-41-1 CAPLOS
 CI Phthalazine, 1-[[3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-4-[3-(4-morpholinyl)-1-propenyl]- (9C1) (CA INDEX NAME)



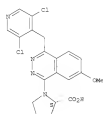
RI 256443-42-3 CAPLOS
 CI Phthalazine, 1-[[3,5-dichloro-4-pyridinyl]methyl]-4-ethynyl-6-methoxy- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-59-0 CAPLOS
 CI 1-Phthalazine, 1-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy-1-phthalazinyl]-, sodium salt (9C1) (CA INDEX NAME)

Absolute stereochemistry.



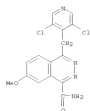
● Na

RI 256443-64-8 CAPLOS
 CI Phthalazine, 1-[[3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-5-[2-[2-(2-methoxyethoxy)ethoxy]-1-propenyl]- (9C1) (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

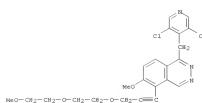


RI 256443-44-4 CAPLOS
 CI 1-Phthalazine-10-carboxamide, 6-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy- (CA INDEX NAME)

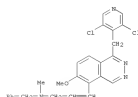


RI 256443-45-5 CAPLOS
 CI 1-Phthalazine-10-carboxamide, 6-[[3,5-dichloro-4-pyridinyl]methyl]-7-methoxy- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

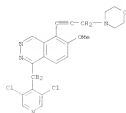


RI 256443-65-9 CAPLOS
 CI Benzeneethanamine, N-[3-[1-[[3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-5-phthalazinyl]-2-propenyl]-9-methyl]- (9C1) (CA INDEX NAME)

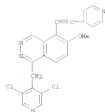


RI 256443-66-0 CAPLOS
 CI Phthalazine, 1-[[3,5-dichloro-4-pyridinyl]methyl]-6-methoxy-5-[2-(4-morpholinyl)-1-propenyl]- (9C1) (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-81-1 CAPLUS
 CI Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-(4-pyridinylethynyl)- (9C1) (CA INDEX NAME)



RI 256443-88-2 CAPLUS
 CI Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-(2-thienylethynyl)- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 256443-82-5 CAPLUS
 CI Phthalazine, 4-isoxano-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)



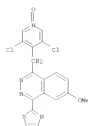
RI 256443-89-7 CAPLUS
 CI Phthalazine, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-(phenylethynyl)- (CA INDEX NAME)



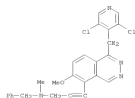
RI 256443-90-5 CAPLUS
 CI 5-Phthalazinol, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-,

Habe

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

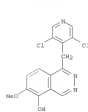


RI 256443-89-3 CAPLUS
 CI Benzenemethanamine, N-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-phthalazinyl-2-propenyl- (9C1) (CA INDEX NAME)



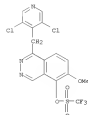
IT 256443-81-3P 256443-82-OP 256443-89-TP
 256443-90-OP 256443-91-1P 256443-97-TP
 RI+ RCT (Reagent); SPI (Synthetic Preparation); PREP (Preparation); RACT (Reagent or reagent)
 [preparation of phthalazines as phosphodiesterase 4 inhibitors]
 RI 256443-81-3 CAPLUS
 CI Phthalazine, 4-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)

14 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



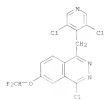
● 9C1

RI 256443-91-1 CAPLUS
 CI Methanemethanone, trifluoro-, 1-[(3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-phthalazinyl ester (9C1) (CA INDEX NAME)

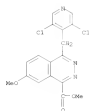


RI 256443-97-7 CAPLUS
 CI Phthalazine, 4-chloro-1-[(3,5-dichloro-4-pyridinyl)methyl]-6-(difluoroacetyl)- (CA INDEX NAME)

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



AB 254441-99-9 CAPLUS
 CN 2-(2-chloro-4-(2-chloro-6-(2-(2-methoxy-5-(2-methoxy-1-methyl-1H-pyridin-4-yl)methyl)-1H-pyridin-2-yl)methyl)-1H-pyridin-6-yl)methyl)-1H-pyridine-4-carboxylate (CA INDEX NAME)



AB 254443-99-9 CAPLUS
 CN 1-(2-chloro-4-(2-chloro-6-(2-(2-methoxy-5-(2-methoxy-1-methyl-1H-pyridin-4-yl)methyl)-1H-pyridin-2-yl)methyl)-1H-pyridin-6-yl)methyl)-1H-pyridine-4-carboxylate (CA INDEX NAME)

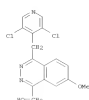
L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)
 ACCSSION NUMBER: 1999-02161 CAPLUS
 DOCUMENT NUMBER: 15175662
 TITLE: Preparation of phthalazine derivatives as phosphodiesterases 4 and 7B inhibitors
 INVENTOR(S): Napolitano, Mauro; Nocini, Gabriele; Netti, Daniela; Grandini, Giancarlo; Morazzoni, Gabriele; Santangelo, Francesco; Siro Herrera, Jorge G.; Garcia Navio, Jose Luis; Alvarez-Builla, Julio G.
 PATENT ASSIGNOR(S): Ranbaxy Group S.p.A., Italy
 SOURCE: PCT Int. Appl., 50 pp.
 DOCUMENT TYPE: COMB. REPROD.
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 992416	AL	1999-07-02	WO 1998-080591	1998-02-17
W, AL, AM, AT, AU, BE, BR, BG, BY, CA, CH, CN, CO, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IL, IN, JP, KR, MA, MX, NZ, PE, PT, RU, SE, SG, SI, SK, TH, TR, TT, UA, US, VE, VN, YU, ZA, ZM, ZW, AU, AT, BE, BR, BG, BY, CA, CH, CN, CO, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IL, IN, JP, KR, MA, MX, NZ, PE, PT, RU, SE, SG, SI, SK, TH, TR, TT, UA, US, VE, VN, YU, ZA, ZM, ZW				
NO 992416	AL	1999-07-02	WO 1998-080591	1998-02-17
EP 1042300	AL	2000-10-11	EP 1998-965000	1998-02-17
EP 1042302	AL	2000-10-11	EP 1998-965000	1998-02-17
IT 2001526270	T	2001-12-18	JP 2000-523393	1998-02-17
AT 259156	T	2004-03-15	AT 1998-965000	1998-02-17
PT 1042300	T	2004-06-20	PT 1998-965000	1998-02-17
ES 2218354	T	2004-10-16	ES 1998-965000	1998-02-17
US 6589912	US	2002-07-08	US 2000-501506	2000-08-10
US 2004004399	AL	2004-03-04	US 2003-441177	2003-05-20
PRIORITY APPL. INFO.:			IT 1997-042806	A 1997-02-29
			WO 1998-080591	M 1998-02-17
			US 2000-501506	A3 2000-08-10

OTHER SOURCE(S): MARPAT 131/73662
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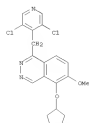
L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



REFERENCE COUNT: 3
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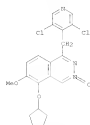
L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

AB The title comds. I [B = alkylene, amino, CORH, bond; Cy is optionally substituted Ph or heterocaryl; R = H, Ph, (C1-6)alkyl optionally substituted; A1 = (C1-6)alkyl, polyfluoro(C1-6)-alkyl; R2 = (C4-7)cycloalkyl optionally containing an oxygen atom and optionally substituted]; HDE 4 and 7B inhibitors, were prepared. E.g., 7-cyclopentyl-1-(1,5-dichloro-4-pyridinyl)methyl)-6-methoxyphthalazine was prepared.
 IT 228849-08-TP 228849-08-EP 228849-10-TP
 228849-10-TP 228849-13-EP 228849-14-EP
 228849-16-TP 228849-17-EP 228849-18-EP
 228849-19-EP 228849-20-EP 228849-21-EP
 228849-22-EP 228849-23-EP 228849-24-TP
 228849-26-EP
 RU BAC (Biological activity or effector, except adverse); BBT (Biological study, unclassified); SYN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) [preparation of phthalazines as phosphodiesterase 4 and 7B inhibitors].
 CN 228849-08-7 CAPLUS
 CN Phthalazine, 1-(cyclopentylmethyl)-1-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy- (CA INDEX NAME)

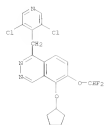


AB 228849-08-8 CAPLUS
 CN Phthalazine, 1-(cyclopentylmethyl)-2-[(1,5-dichloro-4-pyridinyl)methyl]-6-methoxy-, 3-oxide (CA INDEX NAME)

14 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

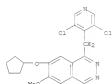


RI 228869-10-1 CAPLUS
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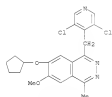


RI 228869-12-3 CAPLUS
 CH Phtthalazine, 5-(cyclopentylmethoxy)-1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-(difluoromethoxy)- (CA INDEX NAME)

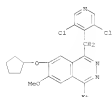
14 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RI 228869-16-7 CAPLUS
 CH Phtthalazine, 4-(cyclopentylmethoxy)-1-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)



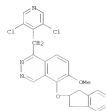
RI 228869-17-8 CAPLUS
 CH Phtthalazine, 4-(cyclopentylmethoxy)-1-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)



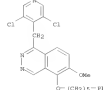
RI 228869-18-9 CAPLUS
 CH Phtthalazine, 4-(cyclopentylmethoxy)-1-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)

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14 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

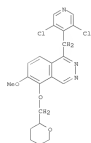


RI 228869-13-4 CAPLUS
 CH Phtthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)

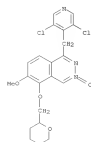


RI 228869-14-5 CAPLUS
 CH Phtthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)

14 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



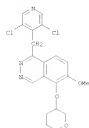
RI 228869-19-0 CAPLUS
 CH Phtthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)



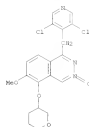
RI 228869-20-3 CAPLUS
 CH Phtthalazine, 1-[(1,3,5-dichloro-4-pyridinyl)methyl]-6-methoxy-5-[(1,3,5-dichloro-4-pyridinyl)methyl]-7-methoxy-2-methyl- (CA INDEX NAME)

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14 ANSWER 15 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

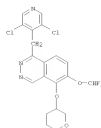


20 228869-21-4 CAPLOS
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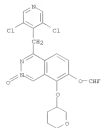


20 228869-22-5 CAPLOS
 C0 Phthalazine, 1-[[3,5-dichloro-4-pyridinyl)methyl]-6-(difluoromethoxy)-5-[[tetrahydro-2H-pyran-3-yl]oxy]- (CA INDEX NAME)

14 ANSWER 15 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

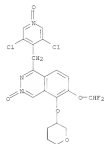


20 228869-23-6 CAPLOS
 C0 Phthalazine, 1-[[3,5-dichloro-4-pyridinyl)methyl]-6-(difluoromethoxy)-5-[[tetrahydro-2H-pyran-3-yl]oxy]-, 3-oxide (CA INDEX NAME)

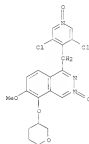


20 228869-24-7 CAPLOS
 C0 Phthalazine, 1-[[3,5-dichloro-1-oxido-4-pyridinyl)methyl]-6-(difluoromethoxy)-5-[[tetrahydro-2H-pyran-3-yl]oxy]-, 3-oxide (CA INDEX NAME)

14 ANSWER 15 OF 15 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



20 228869-24-3 CAPLOS
 C0 Phthalazine, 1-[[3,5-dichloro-1-oxido-4-pyridinyl)methyl]-6-methoxy-5-[[tetrahydro-2H-pyran-3-yl]oxy]-, 3-oxide (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE EE FORMAT